USSN 10/751,585

Response to Office Action dated August 30, 2005

Atty Dkt: 785-011630 C01

II. CLAIM AMENDMENTS

 (Currently Amended) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds of the general formula I,

$$X \xrightarrow{P^2} \overline{Z} \xrightarrow{A} R^4$$

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in which

 R^1 and R^2 , identical or different, denote a C_{1-6} alkyl residue group or together form a ring as $(CH_2)_{2-6}$, which may also be substituted or benzo-fused with at least one optionally at least mono-substituted aryl or heteroaryl residue group,

 R^3 denotes a C_{3-6} alkyl, a C_{3-7} cycloalkyl, an optionally at least mono-substituted aryl or heteroaryl residue group or an optionally at least mono-substituted aryl or heteroaryl residue group attached via a C_{1-3} alkylene group,

 R^4 and R^5 , identical or different, denote a C_{1-6} alkyl, a C_{3-7} cycloalkyl, a phenyl, a benzyl or a phenethyl residue group or R^4 and R^5 together form a ring as $(CH_2)_{3-6}$ or $-CH_2-CH_2-O-CH_2-CH_2$,

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X and Y or Y and Z together denote a bond,

A denotes an optionally at least mono-substituted aryl or heteroaryl residue group,

in the form of the racemates, diastereomers or enantiomers thereof as a free base or a corresponding physiologically acceptable salt.

- 2. (Currently Amended) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 1, characterised in that R^1 and R^2 together form a $(CH_2)_{2-6}$ ring, which may also be substituted or benzo-fused with at least one optionally at least mono-substituted aryl or heteroaryl residue group.
- 3. (Currently Amended) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 1, characterised in that R^1 and R^2 together form a cyclohexyl ring, which may also be substituted with an optionally at least mono-substituted phenyl residue group.
- 4. (Currently Amended) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 1, characterised in that R³ denotes an optionally at least mono-substituted aryl residue group or an optionally at least mono-substituted aryl residue group attached via a C1-3 alkylene group, preferably an optionally at least mono-substituted phenyl, benzyl or phenethyl residue.
- 5. (Currently Amended) Substituted 1-aryl-but-3-enylamine and

1-aryl-but-2-enylamine compounds according to claim groups R^4 and R^5 . characterised in that the residue identical or different, denote a C₁₋₆ alkyl residue group or together $-CH_2-CH_2-O-CH_2-CH_2$ form a -(CH₂)₅or preferably, identical or different, denote a C_{1-2} alkyl residue group.

- 6. (Previously Presented) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 1, characterised in that X and Y together denote a bond.
- 7. (Currently Amended) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 1, characterised in that A denotes an optionally at least mono-substituted aryl residue group, preferably an optionally at least mono-substituted phenyl residue.
- 8. (Currently Amended) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 1:

Dimethyl-[phenyl-(2-phenyl-cyclohex-l-enyl)-methyl]-amine,

{[2-(4-Chloro-phenyl)-cyclohex-1-enyl]-phenyl-methyl}-dimethyl-amine,

[(2-Benzyl-cyclohex-l-enyl)-phenyl-methyl]-dimethylamine,

{[2-(4-Fluoro-3-methyl-phenyl)-cyclohex-l-enyl]-phenyl-methyl}-dimethyl-amine,

Dimethyl-[phenyl-(2-o-tolyl-cyclohex-l-enyl)-methyl]-amine,

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[(2-Cyclopentyl-cyclohex-1-enyl)-phenyl-methyl]-dimethyl-
amine,
Dimethyl-[phenyl-(2-m-tolyl-cyclohex-1-enyl)-methyl] -amine,
(Bicyclohexyl-1-en-2-yl-phenyl-methyl)-dimethyl-amine,
{[2-(4-Fluoro-phenyl)-cyclohex-l-enyl]-phenyl-methyl}-
dimethyl- amine,
Dimethyl-[(2-phenethyl-cyclohex-1-enyl)-phenyl-
methyl]-amine,
{[2-(3-Methoxy-phenyl)-cyclohex-1-enyl]-phenyl
methyl}-dimethyl-amine,
Dimethyl-{phenyl-[2-(3-phenyl-propyl)-cyclohex-1-
enyl]-methyl}-amine,
{[2-(2-Chloro-benzyl)-cyclohex-l-enyl]-phenyl-methyl}-
dimethyl-amine,
{[2-(4-Fluoro-benzyl)-cyclohex-l-enyl]-phenyl-methyl}-
dimethyl-amine,
{[2-(3-Methoxy-benzyl)-cyclohex-1-enyl]-phenyl
methyl}-dimethyl-amine,
{[2-(3-Fluoro-benzyl)-cyclohex-1-enyl]-phenyl-methyl}-
dimethyl-amine,
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{[2-(2-Methoxy-benzyl)-cyclohex-l-enyl]-phenyl-
methyl}-dimethyl-amine,
{[2-(3,5-Difluoro-benzyl)-cyclohex-l-enyl]-phenyl-methyl}-
dimethyl-amine,
{[2-(2-Fluoro-benzyl)-cyclohex-1-enyl]-phenyl-methyl}-
dimethyl-amine,
{[2-(2-Chloro-benzyl)-cyclohex-l-enyl]-phenyl-methyl}-
dimethyl-amine,
{[2-(3-Fluoro-benzyl)-cyclohex-l-enyl]-phenyl-methyl)-
dimethyl-amine,
Dimethyl-{phenyl-[2-(3-trifluoromethyl-benzyl)-cyclohex-1-
enyl]-methyl}-amine,
Dimethyl-[(2-phenethyl-cyclohex-l-enyl)-phenyl-
methyl}-amine,
3-[6-(Dimethylamino-phenyl-methyl)-cyclohex-l-enyl]-phenol,
Dimethyl-{phenyl-(2-(4-trifluoromethylphenyl)-
cyclohex-1-enyl]-methyl}-amine,
2-Chloro-5-[6-(dimethylamino-phenyl-methyl)-cyclohex-1-
enyl]-phenol,
{[2-(4-Methoxy-phenyl)-cyclohex-2-enyl]-phenyl-
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methyl}-dimethyl-amine,

{[2-(4-Chloro-phenyl)-cyclohex-l-enyl]-phenyl-methyl}-dimethyl-amine,

Dimethyl-[(2-phenyl-cyclohex-1-enyl)- (4-trifluoromethylphenyl)-methyl]-amine,

and the corresponding physiologically acceptable salts, preferably the hydrochlorides thereof.

9. (Currently Amended) A process for the production of substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds of the general formula I according to claim 1, characterised in that at least one Mannich base of the general formula II,

$$\begin{array}{c|c}
 & A \\
 & A \\
 & R^4
\end{array}$$

$$\begin{array}{c|c}
 & R^5
\end{array}$$

in which R^1 , R^2 , R^4 , R^5 and A have the meaning according to the general formula I according to claim 1, is reacted with at least one organometallic compound of the general formula R^3 -B, in which B denotes MgCl, MgBr, MgI or Li and R^3 has the meaning according to the general formula I according to claim 1, to yield at least one alcohol of the general formula III,

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in which the <u>residue groups</u> R¹ to R⁵ and A have the meaning according to the <u>general</u> formula I according to claim 1, and this is optionally purified by conventional methods and/or optionally isolated by conventional methods, and reacted with a suitable acid optionally in the presence of a suitable solvent to yield at least one compound of the <u>general</u> formula I according to claim 1.

- 10. (Original) A process according to claim 9, characterised in that a protonic acid, a Lewis acid or a mixture thereof is used as suitable acid.
- 11. (Original) A process according to claim 10, characterised in that hydrogen bromide, hydrogen chloride or formic acid is used as protonic acid.
- 12. (Previously Presented) A process according to claim 10, characterised in that trimethylsilyl iodide or chlorotrimethylsilane is used as the Lewis acid.
- 13. (Currently Amended) A process according to claim 9, characterised in that reaction of the alcohol with the acid is performed at a temperature of 5 to 150°C, preferably at a temperature of 10 to 1300C, particularly preferably at a

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temperature of 15 bis 1200C.

- 14. (Previously Presented) A pharmaceutical preparation containing at least one substituted l-aryl-but-3-enylamine or 1-aryl-but-2-enylamine compound according to claim 1 and optionally physiologically acceptable auxiliary substances.
- 15. (Original) A pharmaceutical preparation according to claim 14 for combatting pain.
- 16. (Original) A pharmaceutical preparation according to claim 14 for the treatment of depression.
- 17. (Currently Amended) A pharmaceutical preparation according to claim 14 for the treatment of hypertension hypotension.
- 18. (Original) A pharmaceutical preparation according to claim 14 for the treatment of hypertension.
- 19. (Original) A pharmaceutical preparation according to claim 14 for the treatment of senile dementia.

20-21. (Canceled)

- 22. (Original) A pharmaceutical preparation according to claim 14 for the treatment of tinnitus.
- 23. (Original) A pharmaceutical preparation according to claim 14 for the treatment of hardness of hearing.
- 24. (Original) A pharmaceutical preparation according to claim 14 for the treatment of epilepsy.

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25. (Original) A pharmaceutical preparation according to claim 14 for the treatment of obesity.

- 26. (Original) A pharmaceutical preparation according to claim 14 for the treatment of cachexia.
- 27. (Original) A pharmaceutical preparation according to claim 14 for the treatment of urinary incontinence.
- 28. (Original) A pharmaceutical preparation according to claim 14 for anxiolysis.
- 29. (Original) A pharmaceutical preparation according to claim 14 for diuresis.
- (Currently Amended) A method of treating Use of at least 30. one substituted 1 aryl but 3 enylamine or 1 aryl but 2envlamine compound according to claim 1 for the production of a pharmaceutical preparation for combatting pain, for the treatment of depression, hypotension, hypertension, senile dementia, Alzheimer's disease, general cognitive tinnitus, hardness of hearing, dysfunction, epilepsy, obesity, cachexia or urinary incontinence or for anxiolysis or diuresis comprising administering to a patient in need thereof a therapeutic amount of a pharmaceutical preparation comprising at least one substituted 1-aryl-but-3-enylamine or 1-aryl-but-2-enylamine compound according to claim 1.
- 31. (New) A substituted 1-aryl-but-3-enylamine and 1-aryl-but-

2-enylamine compounds according to claim 4, characterised in that R^3 denotes an optionally at least mono-substituted phenyl, benzyl or phenethyl group attached via a C_{1-3} alkylene group.

- 32. (New) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 7, characterised in that A denotes an optionally at least mono-substituted phenyl group.
- 33. (New) A compound of claim 8 where the corresponding physiologically acceptable salt is the hydrochloride thereof.
- 34. (New) A process according to claim 9, characterised in that reaction of the alcohol with the acid is performed at a temperature of 15 to 120° C.
- 35. (New) A process according to claim 9, characterised in that reaction of the alcohol with the acid is performed at a temperature of 10 to 130° C.
- 36. (New) Substituted 1-aryl-but-3-enylamine and 1-aryl-but-2-enylamine compounds according to claim 1, characterised in that the groups R^4 and R^5 , identical or different, denote a C_{1-2} alkyl group.